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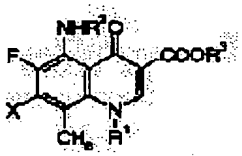
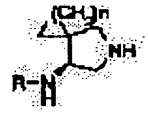
(54) PRODUCTION OF QUINOLONE CARBOXYLIC ACID DERIVATIVE

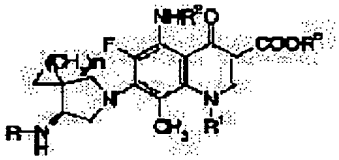
(57)Abstract:
PROBLEM TO BE SOLVED: To highly efficiently obtain a quinolone carboxylic acid derivative which is expected to be a quinolone carboxylic acid-based synthetic antimicrobial agent used for medicines, agrochemicals or the like, by reacting a specific quinolone carboxylic acid compound with a specified azaspiroheptane compound in a specified amount or more.
SOLUTION: This method for producing a quinolone carboxylic acid derivative of formula III comprises reacting a compound of formula I [X is a releasing group; R1 is a (substituted) 3 to 6C cyclic alkyl; R2 is H or an amino-protecting group; R3 is H, phenyl, acetoxymethyl or the like] {5-amino-6,7-difluoro-1-[(2S)- fluoro-(1R)-cyclopropyl]-1,4-dihydro-8-methyl-4-oxoquinolone-3-carboxylic acid} with three or more equivalents of a compound of formula II [(n) is 1 to 5; R is H or an amino-protecting group] {for example, (7S)-tertiary butoxycarbonylamino-5-azaspiro[2.4]heptane} preferably at 100 to 120° C.



I



II



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LEGAL STATUS

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